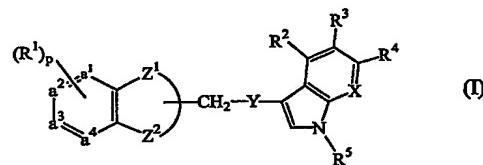


CLAIMS

1. Indol derivatives according to Formula (I)



a pharmaceutically acceptable acid or base addition salt thereof, a stereochemically isomeric form thereof, an N-oxide form thereof or a quaternary ammonium salt thereof, wherein

10 -a¹=a²-a³=a⁴- is a bivalent radical of formula

- N=CH-CH=CH- (a-1),
- CH=N-CH=CH- (a-2),
- CH=CH-N=CH- (a-3) or
- CH=CH-CH=N- (a-4);

15 -Z¹—Z²- is a bivalent radical of formula

- O-CH₂-O- (b-1),
- O-CH₂-CH₂-O- (b-2),
- NR⁷-CH₂-CH₂-O- (b-3),
- O-CH₂-CH₂-NR⁷- (b-4),
- NR⁷-CH₂-CH₂-NR⁷- (b-5) or
- S-CH₂-CH₂-O- (b-6);

wherein R⁷ is selected from the group of hydrogen, hydroxy, alkyl, alkyloxyalkyl and alkylcarbonyl;

X is CR⁶ or N;

25 each R¹, R², R³, R⁴ and R⁶ is independently from each other selected from the group of hydrogen, halo, cyano, nitro, alkyl, alkenyl, mono- or dialkylaminoalkyl, hydroxy, alkyloxy, alkylcarbonyloxy, amino, mono- or dialkylamino, formylamino, alkylcarbonylamino, alkylsulfonylamino, hydroxycarbonyl, alkyloxycarbonyl, aminocarbonyl, mono- or dialkylaminocarbonyl,

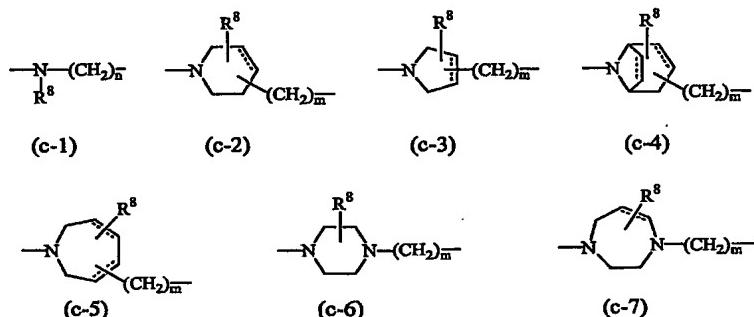
30 alkylcarbonyloxy alkyloxycarbonyloxy, alkylthio, aryl and heteroaryl;

p is an integer equal to 0, 1, 2 or 3;

R⁵ is hydrogen or alkyl;

Y is a bivalent radical of formula

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wherein

m is an integer equal to 0 or 1.

n is an integer equal to 0, 1, 2, 3, 4, 5 or 6.

the dotted line represents an optional double bond:

R^8 is selected from the group of hydrogen, halo, alkyl, hydroxy, alkyloxy, alkylcarbonyloxy, alkyloxycarbonyloxy, hydroxycarbonyl, aminocarbonyl, mono- or dialkylaminocarbonyl, alkyloxycarbonyl and amino:

alkyl represents a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms ; said radical being optionally substituted with one or more phenyl, halo, cyano, oxo, hydroxy, formyl or amino radicals :

alkenyl represents a straight or branched unsaturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic unsaturated hydrocarbon radical having from 3 to 6 carbon atoms ; said radical having one or more double bonds and said radical being optionally substituted with one or

aryl denotes radicals and salts wherein one or more atoms associated with the radical are substituted with one or more phenyl, halo, cyano, oxo, hydroxy, formyl or amino radicals; represents phenyl or naphthyl, optionally substituted with one or more radicals selected from the group of alkyl, halo, cyano, oxo, hydroxy, alkoxy and amino : and

heteroaryl represents a monocyclic heterocyclic radical selected from the group of azetidinyl, pyrrolidinyl, dioxolyl, imidazolidinyl, pyrazolidinyl, piperidinyl, homopiperidinyl, dioxy, morpholinyl, dithianyl, thiomorpholinyl, piperazinyl, imidazolidinyl, tetrahydrofuryl, 2H-pyrrolyl, pyrrolinyl, imidazolinyl, pyrazolinyl, pyrrolyl, imidazolyl,

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- pyrazolyl, triazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, thiadiazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl and triazinyl ; each radical optionally substituted with one or more radicals selected from the group of alkyl, aryl, arylalkyl, halo, cyano, oxo, hydroxy, alkyloxy and amino ;
- 5 with the proviso that compounds wherein simultaneously $-a^1=a^2-a^3=a^4-$ is (a-4), $-Z^1-Z^2-$ is (b-2) and Y is (c-2) are excluded.
- 10 2. Compound according to claim 1, characterized in that $-a^1=a^2-a^3=a^4-$ is a bivalent radical of formula (a-3) or (a-4).
- 15 3. Compound according to any one of claims 1 and 2, characterized in that $-Z^1-Z^2-$ is a bivalent radical of formula (b-1), (b-2) or (b-3) wherein R⁷ is hydrogen or methyl.
- 15 4. Compound according to any one of claims 1 to 3, characterized in that Y is a bivalent radical of formula (c-1) wherein n = 3 or (c-2) wherein m = 0 or 1 and R⁸ is hydrogen.
- 20 5. Compound according to any one of claims 1 to 4, characterized in that X is CR⁶ ; R², R³, R⁴ and R⁶ are each independently hydrogen, halo, cyano, nitro or hydroxy and R⁵ is hydrogen.
- 25 6. Compound according to any one of claims 1 to 5, characterized in that $-a^1=a^2-a^3=a^4-$ is a bivalent radical of formula (a-3) or (a-4) ; $-Z^1-Z^2-$ is a bivalent radical of formula (b-1), (b-2) or (b-3) wherein R⁷ is hydrogen or methyl ; Y is a bivalent radical of formula (c-1) wherein n = 3 or (c-2) wherein m = 0 or 1 and R⁸ is hydrogen ; X is CR⁶ ; R², R³, R⁴ and R⁶ are each independently hydrogen, halo, cyano, nitro or hydroxy and R⁵ is hydrogen.
- 30 7. Compound according to any one of claims 1 to 6 for use as a medicine.
- 35 8. A pharmaceutical composition comprising a pharmaceutically acceptable carrier or diluent and, as active ingredient, a therapeutically effective amount of a compound according to any one of claims 1 to 6.

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9. The use of a compound according to any one of claims 1 to 6 for the preparation of a medicament for the prevention and/or treatment of a disorder or disease responsive to the inhibition of dopamine D₂, D₃ and/or D₄-receptors.

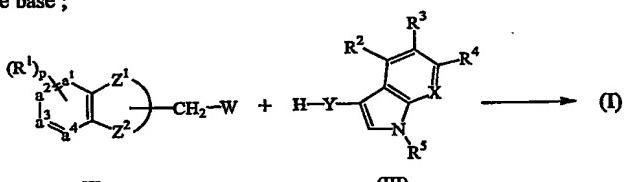
5 10. The use of a compound according to any one of claims 1 to 6 for the preparation of a medicament for the prevention and/or treatment of a disorder or disease responsive to the inhibition of serotonin reuptake and antagonism of 5-HT_{1A} receptors.

10 11. The use of a compound according to any one of claims 1 to 6 for the preparation of a medicament for the prevention and/or treatment of a disorder or disease responsive to the combined effect of a dopamine D₂, D₃ and/or D₄ antagonist, an SSRI and a 5-HT_{1A}-agonists, partial agonist or antagonist.

15 12. The use of a compound according to any one of claims 1 to 6 for the preparation of a medicament for the prevention and/or treatment of affective disorders such as general anxiety disorder, panic disorder, obsessive compulsive disorder, depression, social phobia and eating disorders ; and other psychiatric disorders such as, but not limited to psychosis and neurological disorders.

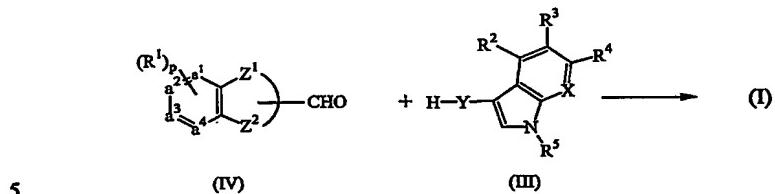
20 13. The use of a compound according to any one of claims 1 to 6 for the preparation of a medicament for the prevention and/or treatment of schizophrenia.

25 14. Process for the preparation of a compound according to Formula (I) characterized by either
(a) alkylating an intermediate of Formula (III) with an intermediate of Formula (II), wherein all variables are defined as in claim 1 and W is an appropriate leaving group, in a reaction-inert solvent and optionally in the presence of a suitable base :

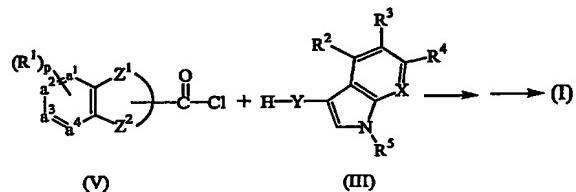


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(b) reductively aminating an intermediate of Formula (IV) is with an intermediate of Formula (III) in a reaction-inert solvent and in the presence of a reducing agent.



(c) reacting an acid chloride of Formula (V) with an intermediate of Formula (III) in a reaction-inert solvent and in the presence of a suitable base, followed by reduction of the corresponding amide intermediate formed in a reaction-inert solvent and in the presence of a reducing agent;



15 (d) and, if desired, converting compounds of Formula (I) into each other following art-known transformations, and further, if desired, converting the compounds of Formula (I), into a therapeutically active non-toxic acid addition salt by treatment with an acid, or into a therapeutically active non-toxic base addition salt by treatment with a base, or conversely, converting the acid addition salt form into the free base by treatment with alkali, or converting the base addition salt into the free acid by treatment with acid; and, if desired, preparing stereochemically isomeric forms, *N*-oxides thereof and quaternary ammonium salts thereof.

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